We claim.

1. An inhibitor of catalytically active memapsin 2 which binds to the active site of the memapsin 2 defined by the presence of two catalytic aspartic residues and substrate binding cleft.

2. The inhibitor of claim 1 comprising an isostere of the active site of memapsin 2.

3. The inhibitor of claim 2 comprising a molecule having the general form X- L_4-P_4- L_3-P_3-L_2-P_2-L_1-P_1-L_0-P_1'-L_1'-P_2'-L_2'-P_3'-L_3'-P_4'L_4'-Y,

wherein Px represent the substrate specificity position relative to the cleavage site which is represented by an -L0-, and Lx represent the linking regions between each substrate specificity position, Px, and

wherein L_0 is a non-hydrolyzable bond and P1' is -R_1CR_3-, wherein R_1 is a group smaller than CH_2OH (side chain of serine), and at least two other P positions are a hydrophobic group.

4. The inhibitor of claim 3 which is OM99-1.

5. The inhibitor of claim 3 which is OM99-2.

6. The inhibitor of claim 3 having the structure of Figure 11.

7. The inhibitor of claim 3 having the structure of Figure 12.

8. The inhibitor of claim 3 having the structure of Figure 13.

9. The inhibitor of claim 3 having the structure of Figure 14.

10. The inhibitor of claim 1 having an K_i of less than or equal to 10^{-7} M.

11. The inhibitor of claim 1 which binds to crystallized enzyme characterized by the parameters in Table 2 when bound to OM-99-2.

12. The inhibitor of claim 11 having a K_i of less than or equal to 10^{-6} M.

13. The inhibitor of claim 11 having a K_i of less than or equal to 2 nM.

14. The inhibitor of claim 13 having a K_i of less than or equal to 1 nM.

15. The inhibitor of claim 11 having a root mean square difference of less than or equal to 0.5 Å for the side chain and backbone atoms for amino acids 18-379 of memapsin 2.

16. The inhibitor of claim 1 which is permeable to the blood brain barrier.

17. The inhibitor of claim 1 which blocks cleavage by memapsin 2 under physiological conditions.

18. The inhibitor of claim 1 which is a non-amino acid small molecule.

19. The inhibitor of claim 18 having a molecular weight of less than 800 Daltons.

20. A method of synthesis of a Leu*Ala dipeptide isostere.

21. A method for treating a patient to decrease the likelihood of developing or the progression of Alzheimer's disease comprising administering to the individual an effective amount of an inhibitor of memapsin 2 having an K_i of less than or equal to 10^{-7} M or which binds to crystallized enzyme characterized by the parameters in Table 2 when bound to OM-99-2.

22. The method of claim 21 wherein the inhibitor is administered orally.

23. The method of claim 21 wherein the inhibitor blocks cleavage of APP.

ADD A2